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A summary of the papers in this month's issue.

Solid-phase synthesis

- The reaction of polymer-bound *o*-phenylenediamine with α-bromoketones in DMF has been used to generate a small library of quinoxalines (Wu and Ede, *Tetrahedron Lett.*, 2001, 42(45), 8115-8118).
- Secondary allylic alcohols coupled to a phenolic polystyrene resin under Mitsunobu conditions underwent nucleophilic cleavage with primary and secondary amines in the presence of catalytic palladium (Fisher and Brown, *Tetrahedron Lett.*, 2001, 42(46), 8227-8230).
- The dehydropeptide, AM-toxin II, has been prepared using a novel selenyl side-chain linker strategy that requires a mild oxidative cleavage (Horikawa *et al.*, *Tetrahedron Lett.*, 2001, 42(47), 8337-8339).
- The alkoxy and amino mercuration of alkenes on solid support has been reported and the products subsequently used for C-C bond formation, halogenation and reduction (Raghavan *et al.*, *Tetrahedron Lett.*, 2001, 42(47), 8383-8386).
- A novel method of the solid-phase synthesis of 3,4-dihydroquinazoline derivatives starting with polymer-supported 4-bromomethyl-3-nitrobenzoate has been described (Zhang *et al.*, *Tetrahedron Lett.*, 2001, 42(48), 8405-8408).
- Acyl biarylsulphonamides have been prepared on solid-phase starting with the sulphonylation of an aminomethyl linker (Xiong *et al.*, *Tetrahedron Lett.*, 2001, 42(48), 8423-8427).
- Phenylalanine-containing peptides attached to solid support have been modified by Suzuki-Miyaura coupling reaction (Kotha and Lahiri, *Bioorg. Med. Chem. Lett.*, 2001, *11(21)*, 2887-2890).
- Small libraries of hapalosin cyclic depsipeptide analogues have been prepared on solid-phase support by the assembly of acyclic precursors (Hermann *et al.*, *Tetrahedron*, 2001, *57(43)*, 8999-9010).
- An automated synthetic protocol has been developed for the preparation of oligonucleotides carrying a peptide nucleic acid (PNA) tail at the 3'-terminus added using commercially available Bhoc/Fmoc PNA monomers (Capasso *et al.*, *Tetrahedron*, 2001, *57(46)*, 9481-9486).
- Cyclic oligomers of *m*-phenylene ethynylene have been prepared using Pd/Cu-catalysed coupling chemistry on solid-phase support exploiting pseudo-high dilution effects (Shortell *et al.*, *Tetrahedron*, 2001, *57(44)*, 9055-9065).

Solution-phase synthesis

- The readily available polystyryl sulphonyl chloride resin has been used for the high yielding solution phase synthesis of esters from carboxylic acids and alcohols or phenols (Zander and Frank, *Tetrahedron Lett.*, 2001, 42(44), 7783-7785).
- Sulphonic esters have been prepared in generally greater than 95% from sulphonic acids or sodium sulphonates using polymer-bound primary triazenes (Vignola *et al.*, *Tetrahedron Lett.*, 2001, 42(44), 7833-7836).
- A library of 3,4-dihydropyrimidin-2-(1*H*)-ones has been prepared in solution using the Biginelli reaction of aldehydes, 1,3-dicarbonyl compounds and urea catalysed by a solid-supported ytterbium (III) reagent (Dondoni and Massi, *Tetrahedron Lett.*, 2001, 42(45), 7975-7978).

Novel resins, linkers and techniques

- A novel ROMPgel resin bearing an activated ester has been developed that allows the preparation of Mosher amides with minimal purification (Arnauld *et al.*, *Tetrahedron Lett.*, 2001, 42(46), 8215-8217).
- A ROMPgel supported allylboronate has been used in the allylboration of various aldehydes, generating homoallylic alcohols isolated without the need for purification (Arnauld et al., *Tetrahedron Lett.*, 2001, 42(44), 7899-7901).
- Non-aqueous solutions of Ellman's reagent [5,5'-dithio(2-nitrobenzoic acid), DTNB] can be employed for a simple, cheap and rapid determination of thiols supported on macroporous polystyrene and TentaGel resins (Badyal *et al.*, *Tetrahedron Lett.*, 2001, 42(48), 8531-8533). A secondary treatment with excess dithiothreitol cleaves the disulphide bond and allows a second determination from the same resin sample.

Library applications

- A series of three papers describes development of a general strategy for the construction of 2,6,9-trisubstituted purine libraries used in the search for cyclin-dependent kinase (CDK) inhibitors (Brun *et al*., *Tetrahedron Lett.*, 2001, 42(46), 8161-8164, 8165-8167 and 8169-8171). After validating the chemistry in solution, the synthetic route was transferred to solid support. Purine bound resins were obtained by the reaction of 6-thiopurines with Merrifield resin and subsequent derivatisation of the N-9, C-2 and C-6 positions.
- A general solid-phase method has been developed that allowed the synthesis of a 480-member library of PPAR γ/δ dual agonists leading to a compound with antihyperglycemic and antihyperlipidemic efficacy in diabetic fatty Zucker rats (Liu *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(22)*, 2959-2962).
- Peptidomimetic aminomethyl ketones have been prepared by parallel chemistry techniques and been investigated as a new class of cathepsin K inhibitors (Smith *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(22)*, 2951-2954).
- A qualitative solid-phase binding assay for the detection of α4β7 integrin antagonists attached to TentaGel resin via a photolabile linker has been developed (Gottschling *et al*., *Bioorg. Med. Chem. Lett.*, 2001, *11(23)*, 2997-3000).